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## SEARCH REQUEST FORM

### Scientific and Technical Information Center

Requester's full Name: Everett White Examiner #: 67057 Date: 3/8/2002  
Art Unit: 1623 Phone Number 308-4621 Serial Number: 09/623,364  
Mail Box: CM1-8B19 and Bldg/Room Location: CM1-7B13 Results Format Preferred (circle): PAPER DISK E-MAIL

**If more than one search is submitted, please prioritize searches in order of need.**

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Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be search Include the elected species or structures, key words, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

\*-See Bib data Sheet

Title of Invention: \*

Inventors (please provide full names): \*

Earliest priority Filing Date: \*

*\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

Please search the formula of the aminooxy-cyclodextrin derivatives of Claims 1-7, the preparation thereof in Claim 8, the oximes of Claim 10, and derivatives of nucleotide or nucleoside pyrimidines or purines with the aminooxy-cyclodextrins of Claim 11. A copy of the claims and the abstract is provided.

The Bib Data Sheet which discloses the inventor names, title of the invention, and the earliest priority filing date is also provided.

Point of Contact:  
Alexandra Wacławiw  
Technical Info. Specialist  
CM1 6A02 Tel: 308-4491

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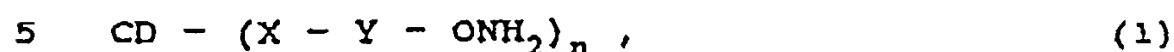
#### STAFF USE ONLY

	Type of Search	Vendors and cost where applicable
Searcher: _____	NA Sequence (#) _____	STN _____
Searcher Phone #: _____	AA Sequence (#) _____	Dialog _____
Point of Contact: Searcher: <u>Alexandra Wacławiw</u>	Structure (#) _____	Questel/Orbit _____
Technical Info. Specialist Date <u>CM1 6A02 Tel: 308-4491</u>	Bibliographic _____	Dr. Link _____
Date Completed: _____	Litigation _____	Lexis/Nexis _____
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PTO-1590 (1-2000)

WHAT IS CLAIMED IS:

1. Aminoxy-cyclodextrin derivatives of the formula 1:



wherein

CD is a mono- or polydeoxy  $\alpha$ -,  $\beta$ - or  $\gamma$ -cyclodextrin, carrying in its 6-, 3- and/or 2-position the aminooxy function containing group (X-Y-ONH<sub>2</sub>), and optionally carrying further substituents different from (X-Y-ONH<sub>2</sub>) in their 6-, 3- and/or 2-positions, and wherein Y is a linker group between the aminooxy group and the mono- or polydeoxy-CD-group,

15 X is a functional group or an atom necessary to connect the linker Y and the deoxy CD group, or Y is a direct bond when X is a direct bond,

and n is  $\geq 1$ , but  $\leq 24$ , 21 and 18 for  $\alpha$ -,  $\beta$ - or  $\gamma$ -cyclo-  
dextrin, respectively, as well as the aminooxy protected  
20 derivatives thereof, especially ethoxy-ethylidene protected  
aminooxy and acetone oxime derivatives thereof.

2. A derivative according to claim 1, wherein Y and X are both direct bonds.

3. A derivative according to claim 1 or 2, wherein one or more of the primary hydroxy groups at a 6-position of  $\alpha$ -,  $\beta$ - or  $\gamma$ -CD are substituted with a X-Y-ONH<sub>2</sub> fragment, wherein X and Y have the meaning of claim 1.

4. A derivative according to ~~any one of~~ claims 1 and 3, wherein Y is a linear or branched alkylene, alkenylene with one or more double bonds which may be either isolated or conjugated, alkynylene with one or more triple bonds which may be either isolated or conjugated, or arylene or arylalkylene fragments where aryl may be substituted or not substituted, whereby the alkylene, alkenylene and alkynylene-

ne fragments may be linear or branched and preferably contain 2-12 C-atoms in the chain, and one or more of the chain members (methylene groups) may be replaced by -NH-, -O-, -S-, -S-S-, -C(O)NH-, -C(O)O-, -OP(O)(OH)O-, -S(O)-, SO<sub>2</sub>-, -CHR-, where R is preferably alkyl, aryl, -OR', -NH<sub>2</sub>, -NHR', -NR'<sub>2</sub>, -OH, -COOH, or -ONH<sub>2</sub> groups and where R' is alkyl, aryl, or acyl.

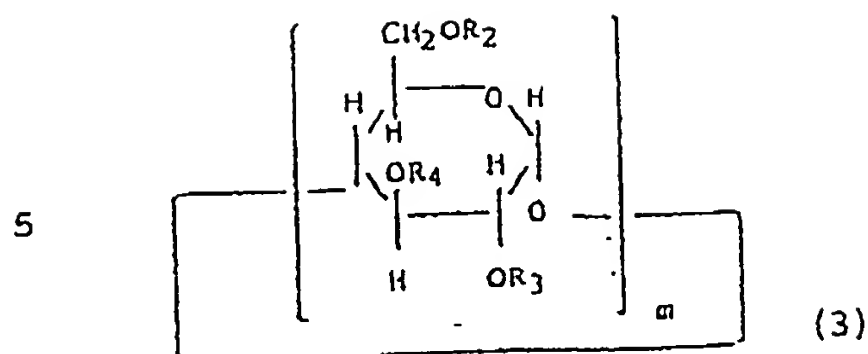
5. A derivative according to any one of the claims 1, 3 and 4, wherein X is -O-, -S-, -NH-, -NR"-, -OCO-, -NH-O-, =NO-, -NHC(O)-, -OP(O)(OH), -R"C=NO-, where R" is linear or branched lower alkyl.

6. A derivative according to the claims 4 ~~or 5~~, wherein Y is alkylene containing 2-12 C-atoms, wherein one or more of the chain members may be replaced by -NH-, -O-, -S-, -C(O)NH-, -C(O)O-, or CHR<sub>1</sub> wherein R<sub>1</sub> is methyl, ethyl or propyl and X is -O-, -S-, -NH-, -OC(O)-, and -NH-C(O)-.

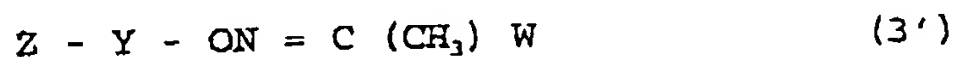
7. Any compound according to claim 1, wherein one or more of the hydroxyl groups at 6-, 3-, and/or 2- position(s) are substituted with a group, for example, H<sub>2</sub>N-, HS-, -COOH, alkoxy-, such as C<sub>1</sub> - C<sub>6</sub>- alkoxy-, aryloxy-, wherein aryl is preferably phenyl, benzyl, or tolyl, or with acyloxy group, wherein acyl' preferably originates from C<sub>1</sub> - C<sub>6</sub>- carboxyl, or benzoic acids, and wherein alkyl-, aryl-, and acyloxy- can additionally contain functional groups like H<sub>2</sub>N-, HS-, -COOH in their structure, in side chain or in aromatic ring.

8. Method according to claim 1 or 3 for preparing compound of formula 1, wherein X is O, and wherein:

a) cyclodextrin of formula (3)



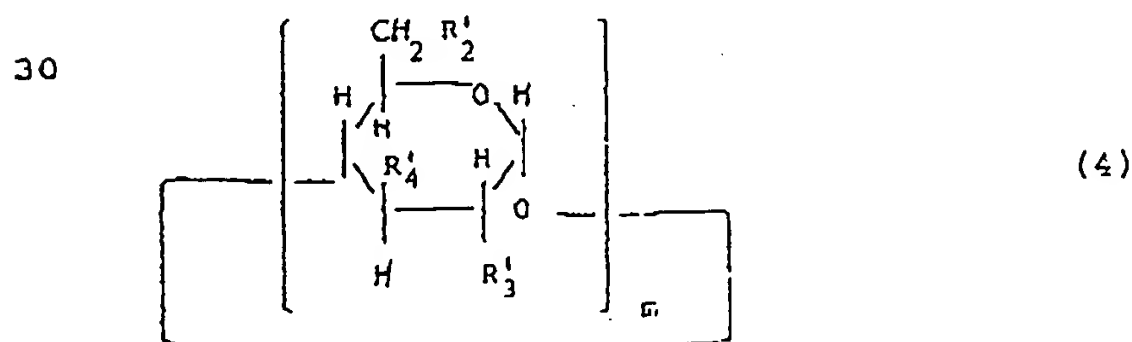
including  $R_2$ ,  $R_3$ , and  $R_4$  are hydroxyl groups or substituents  
 10 defined in claim 7, exemplified by unsubstituted alkoxy,  
 like  $C_1 - C_6$ - alkoxy or aryloxy like phenyl-, benzyl-,  
 tolyl-, or acyloxy, in which substituents' functional  
 groups, if they exist, are protected whenever necessary,  
 whereby at least one of the positions 6, 3, and/or 2 contain  
 15 hydroxyl group, preferably 6- hydroxy group, is alkylated  
 with a compound according to formula (3'):



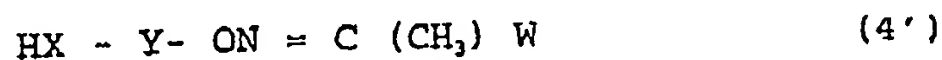
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wherein W means group  $-OC_2H_5$  or  $-CH_3$ , m and Y are as defined  
 in claims 1 or 3, and Z is a reactive group, preferably Cl,  
 Br, I, tosyl, mesyl or epoxy group, and optionally  
 25 protecting group(s) is/are removed, or

b) a cyclodextrin derivative of formula (4) is alkylated



wherein  $R'_2$ ,  $R'_3$ ,  $R'_4$  are hydroxy or activated groups like tosyl, mesyl, halogen, ester, epoxy, preferably tosyl or halogen, possibly bound through a linker group, like alkylene, or substituent as defined in claim 7, said substituent being in a protected form if necessary, whereby the CD-derivative contains at least one of said activated groups with the compound of formula (4')



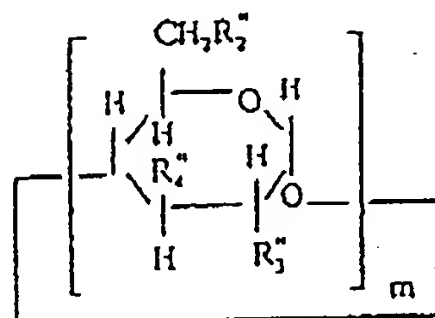
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wherein X and Y are as in claim 1, or as in 3 and 4, and X is preferably S or HN- fragment and Y has the meaning defined in claim 6, and W is defined as above, and protecting group(s) is/are possibly removed if necessary, or

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c) a cyclodextrin derivative of compound with formula (5)

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(5)

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wherein at least one of the groups  $R''_2$ ,  $R''_3$ , and  $R''_4$  mean thiol-, amino-, karboxy- etc. group possibly linked directly to deoxy-CD-ring, or mean alkyleneoxy- or acyloxy groups which contain at least one thiol-, amino-, karboxy-, etc. group, or their derivative, and the remaining functional groups are hydroxyl groups or they have the meaning described in claim 7 for the substituents, and exist, if necessary, in a protected form, typical example being unsubstituted alkoxy, aryloxy, or acyloxy, modified with an appropriate aminooxy protected substituted hydroxylamine according to formula (3'), after which the protecting

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group(s) are removed, or  
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d) CD-derivative of formula (5), which contains one or more of keto or aldehyde groups, possibly bound through a linker group, is allowed to react with bisaminooxy alkanes of formula (5')

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wherein  $t$  is 2-12, and wherein one of the methylene groups  
15 can be substituted with oxygen or sulfur atom, or wherein -NH- or -S-S- groups, and a protecting group is removed if necessary.

20 9. The use of any of the CD-derivatives of claim 1 ~~for~~ for preparation of oximes with ketones or aldehydes, for preparation of aminooxy derivatives of nucleotide- and nucleoside pyrimidines or purines, or for preparation of inclusion complexes with guest molecules by said CD-  
25 derivatives.

10. Oximes of any one of the aminooxy-CDs of claim 1 ~~for~~ with a synthetic or natural aldehydes or ketones.

30 11. Derivatives of nucleotide or nucleoside pyrimidines or purines with aminooxy-CDs, wherein aminooxy group is linked to heterocyclic ring, preferably through pyrimidine C-4 and purine C-6, and wherein pyrimidine and purine are preferably cytosine or adenine as such or as their derivatives.

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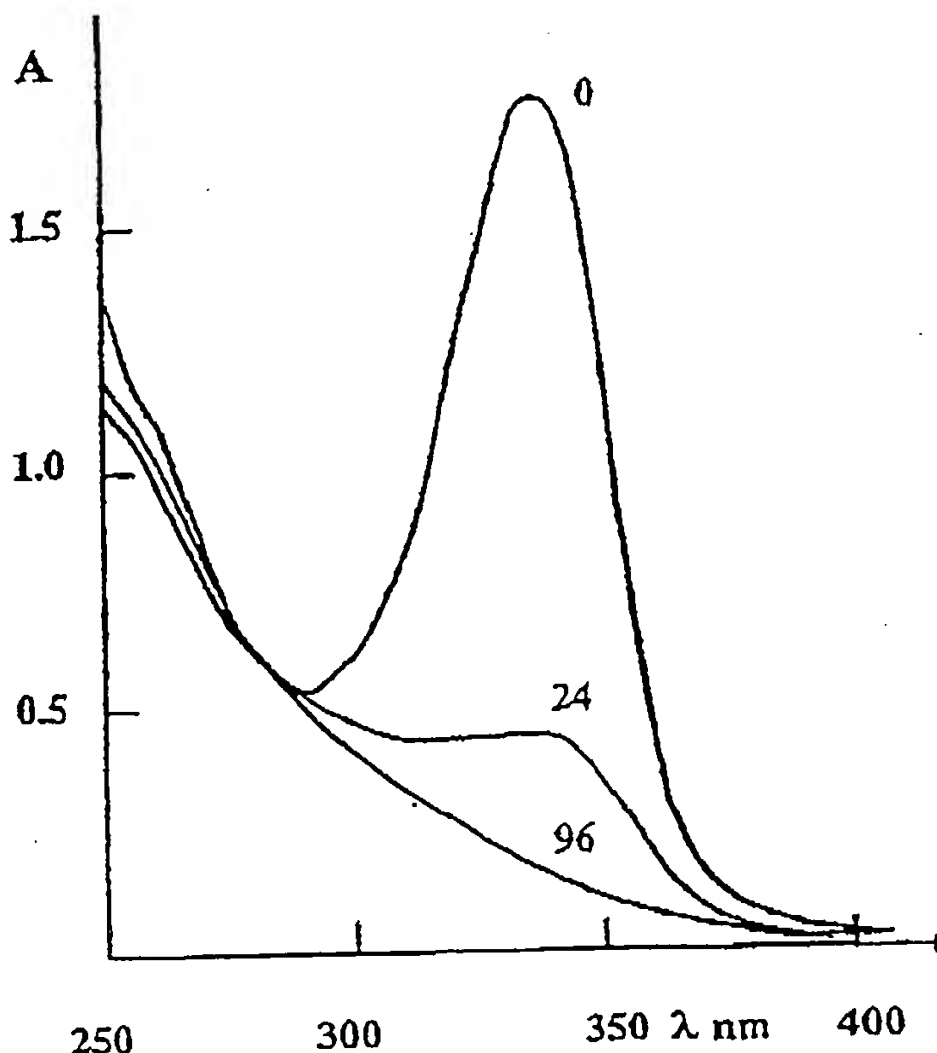
## INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification <sup>6</sup> : C08B 37/16, A61K 47/40		A1	(11) International Publication Number: WVO 99/45032
			(43) International Publication Date: 10 September 1999 (10.09.99)
(21) International Application Number: PCT/FI99/00167		(81) Designated States: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW. ARIPO patent (GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).	
(22) International Filing Date: 4 March 1999 (04.03.99)			
(30) Priority Data: 980489 4 March 1998 (04.03.98) FI			
(71)(72) Applicants and Inventors: KHOMUTOV, Alexei Radiavich [RU/RU]; Apartment 447, Lyusinovskaya Street, 68, Moscow, 113162 (RU). YAKOVLEV, Dmitry Yurievich [RU/US]; Apartment 833, Profsoyusnaya Street, 114-6, Moscow, 117437 (RU). KHOMUTOV, Radii Mikhailovich [RU/RU]; Apartment 46, Profsoyusnaya Street, 43-1, Moscow, 117420 (RU). KORPELA, Timo [FI/FI]; Kasarminkatu 5 as 8, FIN-20500 Turku (FI).			
(74) Common Representative: KORPELA, Timo, Kalevi; Kasarminkatu 5 as 8, FIN-20500 Turku (FI).		Published With international search report.	

(54) Title: NOVEL DERIVATIVES OF CYCLODEXTRINS

## (57) Abstract

The present invention is directed to novel aminoxy-cyclodextrin derivatives of the formula (1):  $CD - (X - Y - ONH_2)_n$ , wherein CD is a mono- or polydeoxy  $\alpha$ -,  $\beta$ -, or  $\gamma$ -cyclodextrin, carrying in its 6-, 3- and/or 2-position a group containing the aminoxy group, and optionally carrying substituents different from  $(X - Y - ONH_2)$ , Y is a linker group between the aminoxy group and the mono- or polydeoxy-CD group, X is a functional group or an atom necessary to connect the linker Y and the deoxy CD group, or Y is a direct bond when X is a direct bond, and n is  $\geq 1$ , but  $\leq 24$ , 21 and 18 for  $\alpha$ -,  $\beta$ - or  $\gamma$ -cyclodextrin, respectively, the protected aminoxy derivatives thereof, as well the methods for their preparation and use.





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Bib Data Sheet

SERIAL NUMBER 09/623,364	FILING DATE 10/23/2000  RULE	CLASS 536	GROUP ART UNIT 1623	ATTORNEY DOCKET NO. 933-160P
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**APPLICANTS**

Alexei Khomutov, Moscow, RUSSIAN FEDERATION;

Dmitry Yakovlev, Lawrence, KS;

Radii Khomutov, Moscow, RUSSIAN FEDERATION; Timo Korpela, Turku, FINLAND;

**\*\* CONTINUING DATA \*\*\*\*\***

THIS APPLICATION IS A 371 OF PCT/FI99/00187 03/04/1999

**\*\* FOREIGN APPLICATIONS \*\*\*\*\***

FINLAND 980489 03/04/1998

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\*\* 11/03/2000

Foreign Priority claimed 35 USC 119 (a-d) conditions met Verified and Acknowledged	<input type="checkbox"/> yes <input type="checkbox"/> no <input type="checkbox"/> yes <input type="checkbox"/> no <input type="checkbox"/> Met after Allowance  Examiner's Signature _____ Initials _____	STATE OR COUNTRY RUSSIAN FEDERATION	SHEETS DRAWING 4	TOTAL CLAIMS 11	INDEPENDENT CLAIMS 2
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**ADDRESS**

2292

BIRCH STEWART KOLASCH &amp; BIRCH

PO BOX 747

FALLS CHURCH, VA

22040-0747

**TITLE**

Novel derivatives of cyclodextrins

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